

L20 ANSWER 5 OF 59 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:841901 CAPLUS

DOCUMENT NUMBER: 133:362968

TITLE: Synthesis of heterocyclic derivs. of  
N-(phenylcyclohexylcarbonyl)phenylglycine amide for  
treatment of cerebral ischemia or injuryINVENTOR(S): Freund, Wolf-Dietrich; Lensky, Stephan; Muller,  
Stephan Nicholas; Paulsen, Holger; Keldenich, Jorg;  
Horvath, Ervin; Schuhmacher, Joachim

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 30 pp.

CODEN: GWXXBX

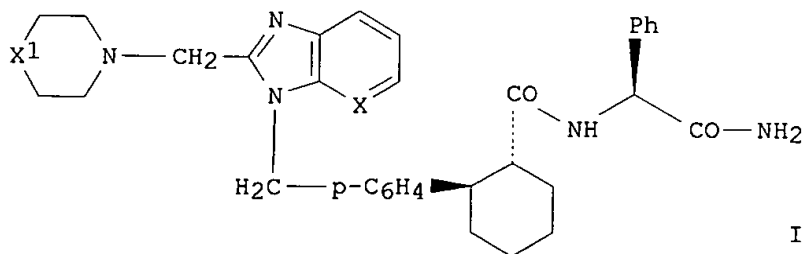
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19924818	A1	20001130	DE 1999-19924818	19990529
WO 2000073275	A1	20001207	WO 2000-EP4417	20000516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000011061	A	20020305	BR 2000-11061	20000516
EP 1185516	A1	20020313	EP 2000-925288	20000516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001005810	A	20020125	NO 2001-5810	20011128
PRIORITY APPLN. INFO.:			DE 1999-19924818 A	19990529
			WO 2000-EP4417 W	20000516
OTHER SOURCE(S):		MARPAT 133:362968		
GI				



AB Title compds., e.g. I, were prepd. for use in treating ischemic brain diseases in humans or animals. Thus I [X = N, X1 = O (II)] was prepd. in

six steps, starting from 2,3-diaminopyridine, glycolic acid, (1R,2R)-2-(4-bromomethylphenyl)cyclohexane-1-carboxylic acid tert-Bu ester (prepn. given), and (S)-phenylglycinamide hydrochloride. Similarly prepd. was I [X = C, X1 = N(Me) (III)]. In in vivo (binding of calf cortex adenosine transport protein) compds. II and III had  $K_i = 2$  nM. In in vitro tests of rat brain reperfusion injury, II and III were effective at 0.001 mg/kg, reducing infarct vol. 81-91% of control.

IT 307931-44-8P 307931-45-9P

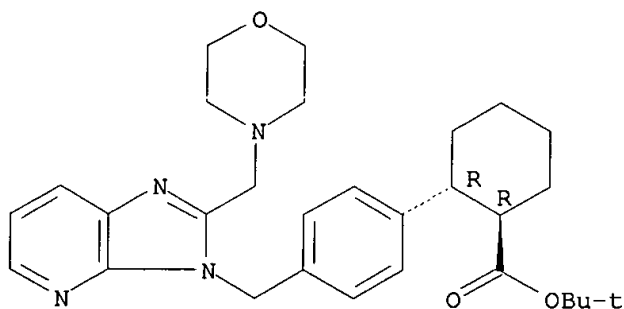
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307931-44-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, 1,1-dimethylethyl ester, (1R,2R)- (9CI) (CA INDEX NAME)

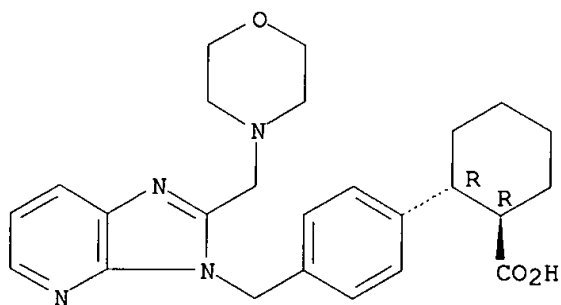
Absolute stereochemistry.



RN 307931-45-9 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 307931-46-0P 307931-47-1P 307931-62-0P

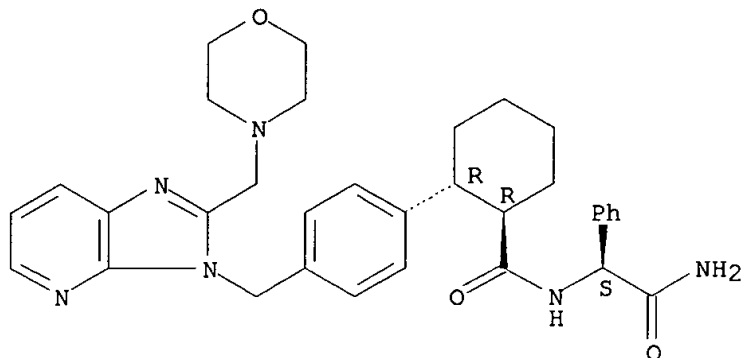
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307931-46-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

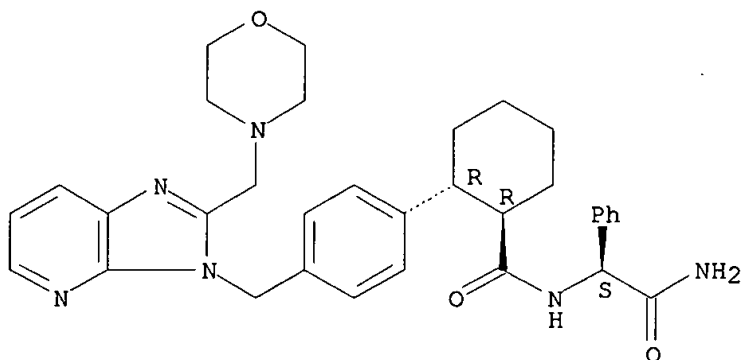
Absolute stereochemistry.



RN 307931-47-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

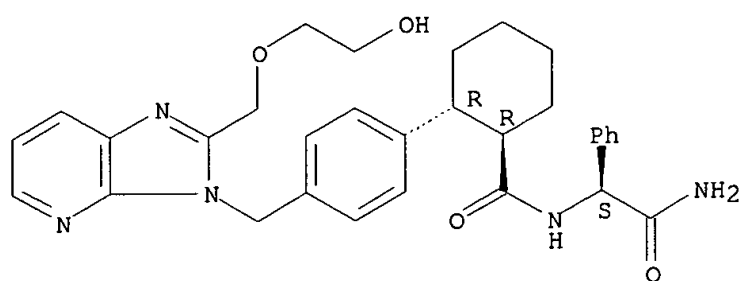


● HCl

RN 307931-62-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L20 ANSWER 42 OF 59 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:94905 CAPLUS

DOCUMENT NUMBER: 122:56057

TITLE: [(Imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylates as angiotensin antagonists

INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 29 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4304455	A1	19940818	DE 1993-4304455	19930215
AU 9454807	A1	19940818	AU 1994-54807	19940131
AU 672262	B2	19960926		
EP 611767	A1	19940824	EP 1994 101543	19940202
EP 611767	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 196141	E	20000915	AT 1994-101543	19940202
ES 2151908	T3	20010116	ES 1994-101543	19940202
US 5395840	A	19950307	US 1994-193835	19940208
CA 2115536	AA	19940816	CA 1994-2115536	19940211
FI 9400659	A	19940816	FI 1994-659	19940211
IL 108625	A1	19970930	IL 1994-108625	19940211
PL 177834	B1	20000131	PL 1994-302213	19940211
NO 9400506	A	19940816	NO 1994-506	19940214
ZA 9400984	A	19940824	ZA 1994-984	19940214
JP 06293741	A2	19941021	JP 1994-37543	19940214
RU 2119480	C1	19980927	RU 1994-4975	19940214
CN 1108257	A	19950913	CN 1994-101553	19940215
CN 1057085	B	20001004		
CZ 289096	B6	20011114	CZ 1994-329	19940215
			DE 1993-4304455 A	19930215

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 122:56057

GI For diagram(s), see printed CA Issue.

AB The title compds., [(imidazolylmethyl)phenyl]cyclohexanecarboxylate derivs. and [(pyrrolylmethyl)phenyl]cyclohexanecarboxylate derivs. I (A = H, aryl, etc.; B, D = substituent; BD = fused ring fragment; E = nitrogen, methine; L = H, halo, nitro, etc.; T = carboxy or amide function) were disclosed as agents for the treatment of arterial hypertonia and atherosclerosis. I are antihypertensives (angiotensin II antagonists). An example compd., the [(imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylate II was prepd.

IT 158098-06-7P 158098-07-8P 158098-19-2P

158098-20-5P 158098-21-6P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);

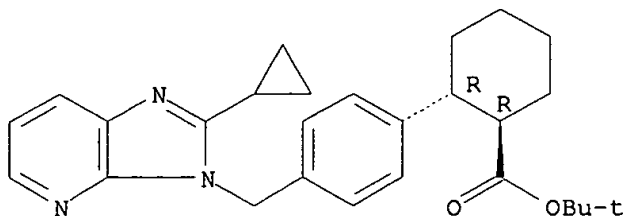
USES (Uses)

(prepn. of [(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as angiotensin antagonists)

RN 158098-06-7 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

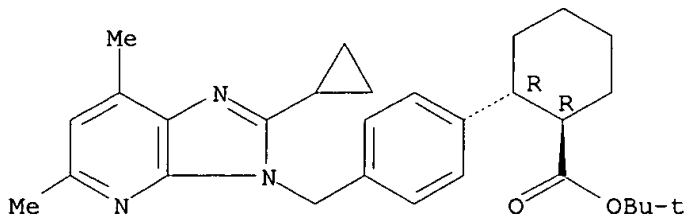
Relative stereochemistry.



RN 158098-07-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

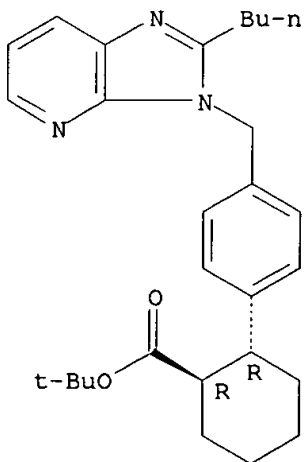
Relative stereochemistry.



RN 158098-19-2 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

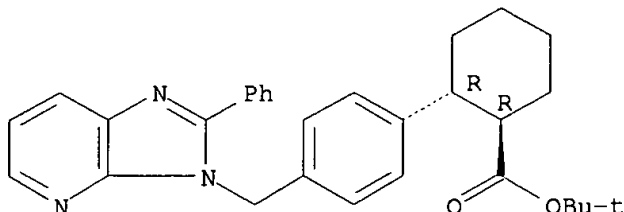
Relative stereochemistry.



RN 158098-20-5 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

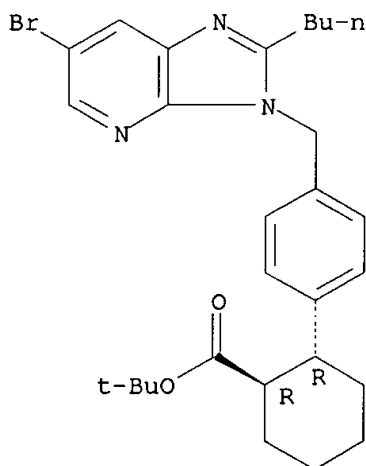
Relative stereochemistry.



RN 158098-21-6 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



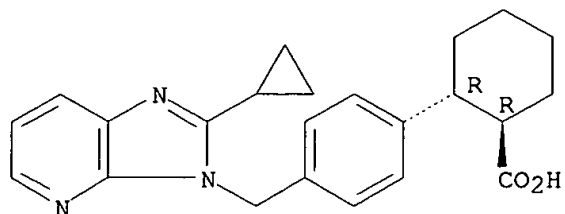
IT 158098-09-0P 158098-12-5P 158098-13-6P  
158098-15-8P 158098-16-9P 158098-17-0P  
158098-22-7P 158098-23-8P 158098-24-9P  
158098-25-0P 158098-26-1P 158098-28-3P  
158098-29-4P 158098-30-7P 158189-62-9P  
158189-63-0P 158189-64-1P 158189-65-2P  
158189-67-4P 158189-68-5P 159992-82-2P  
159992-83-3P 159992-84-4P 160227-10-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of [(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as angiotensin antagonists)

RN 158098-09-0 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

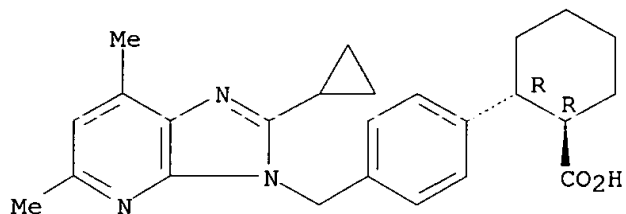
Relative stereochemistry.



RN 158098-12-5 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

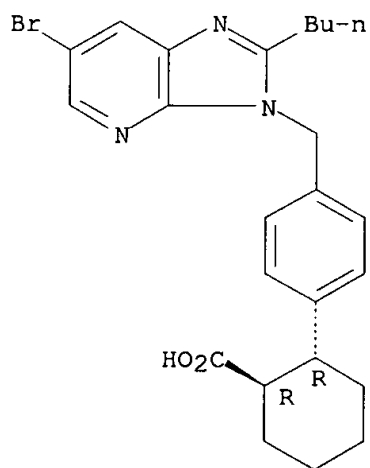
Relative stereochemistry.



RN 158098-13-6 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

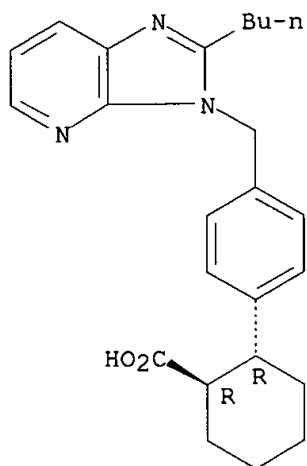


RN 158098-15-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

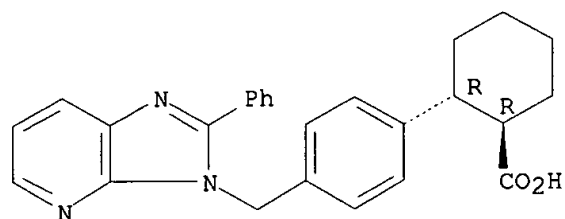




RN 158098-16-9 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

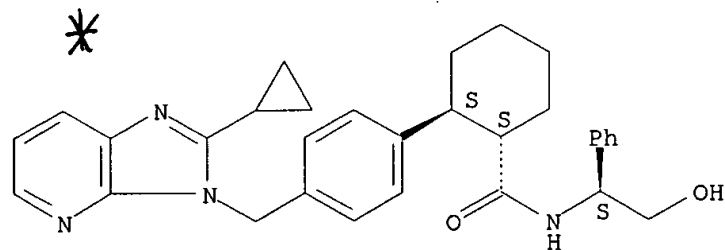
Relative stereochemistry.



RN 158098-17-0 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

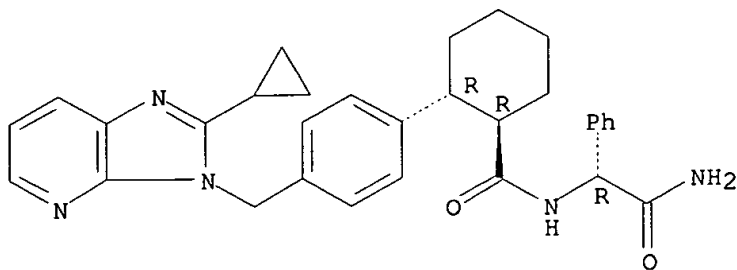
Absolute stereochemistry.



RN 158098-22-7 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

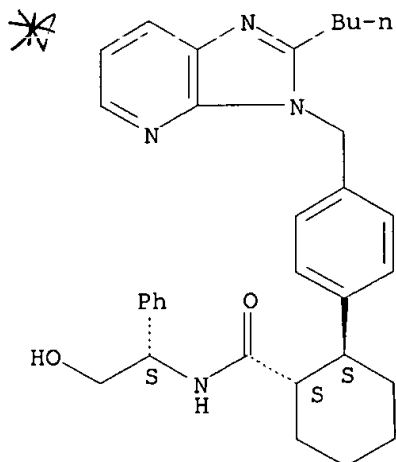
Relative stereochemistry.



RN 158098-23-8 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

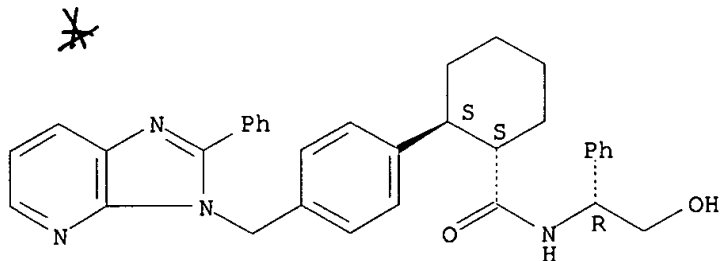
Absolute stereochemistry.



RN 158098-24-9 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1S-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

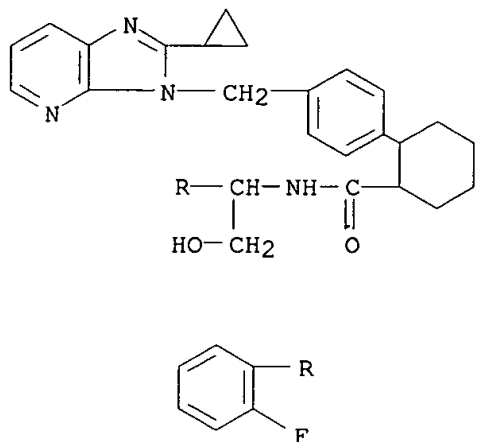
Absolute stereochemistry.



RN 158098-25-0 CAPLUS

Examiner Anderson 703-605-1157

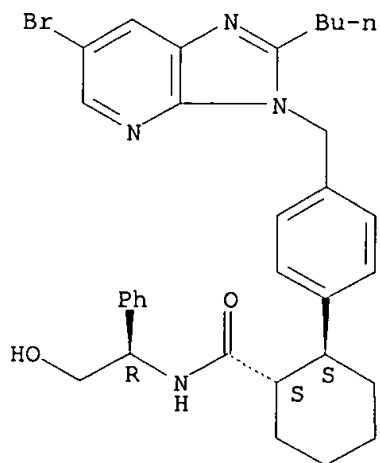
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(R\*),2.beta.]- (9CI) (CA INDEX NAME)



RN 158098-26-1 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

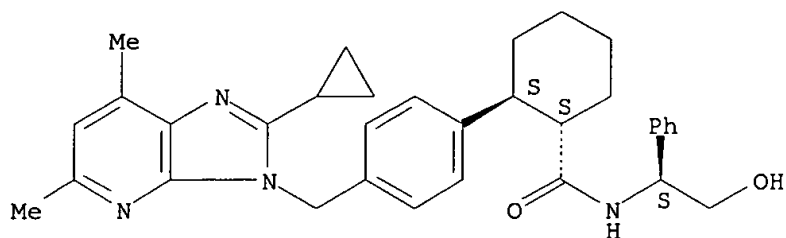
Absolute stereochemistry.



RN 158098-28-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

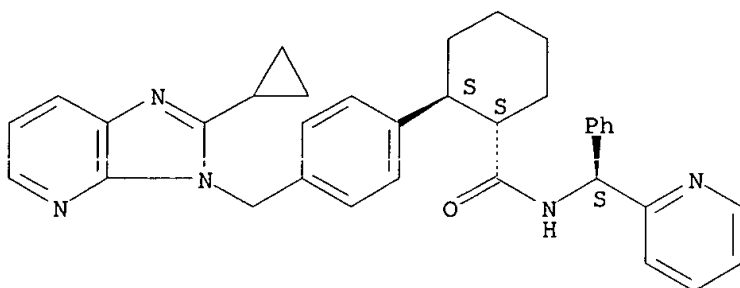
Absolute stereochemistry.



RN 158098-29-4 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

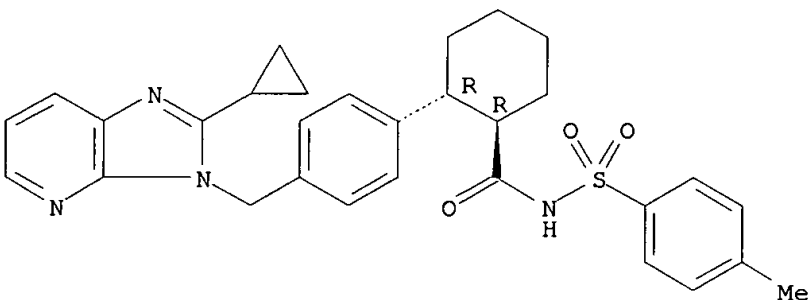
Absolute stereochemistry.



RN 158098-30-7 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

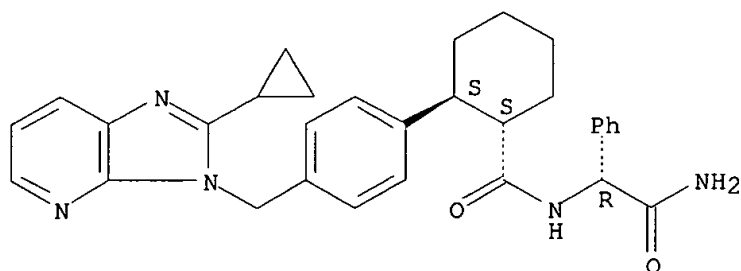
Relative stereochemistry.



RN 158189-62-9 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

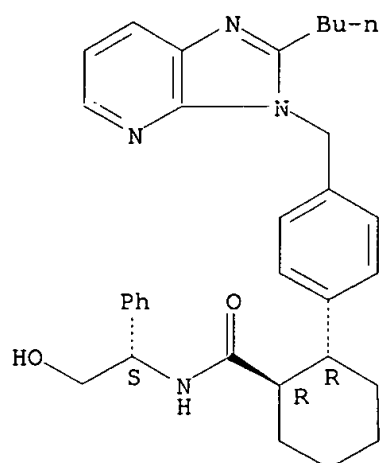
Relative stereochemistry.



RN 158189-63-0 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

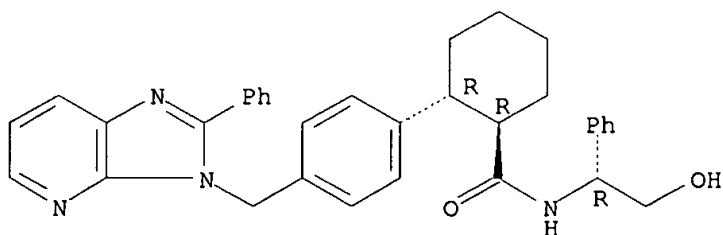
Absolute stereochemistry.



RN 158189-64-1 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1R-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



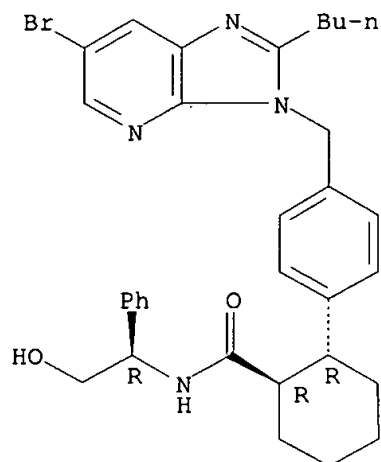
RN 158189-65-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

Examiner Anderson 703-605-1157

[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

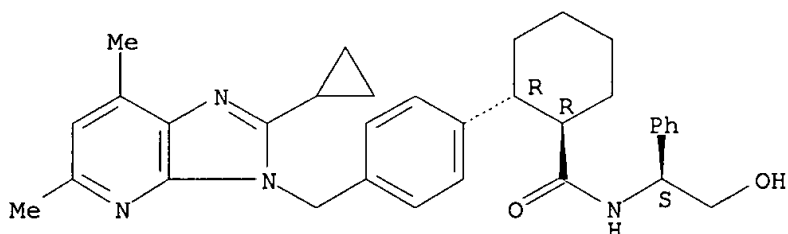
Absolute stereochemistry.



RN 158189-67-4 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

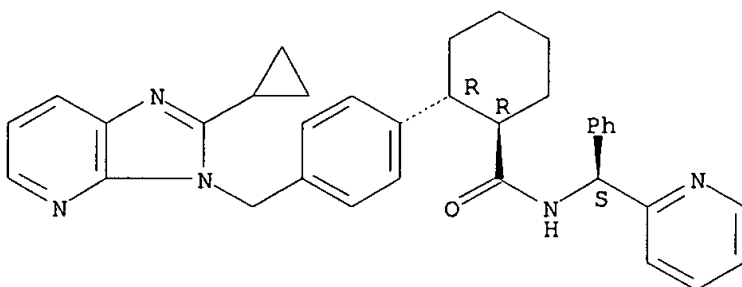
Absolute stereochemistry.



RN 158189-68-5 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

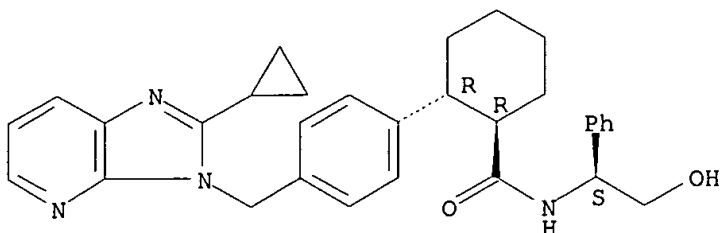
Absolute stereochemistry.



RN 159992-82-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

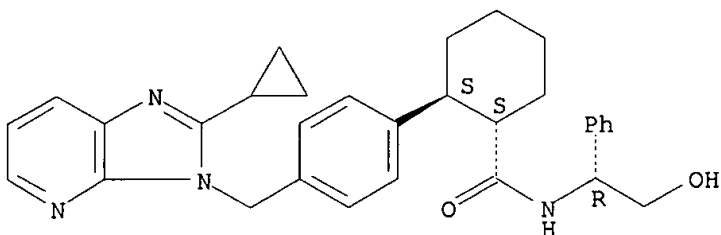
Absolute stereochemistry.



RN 159992-83-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

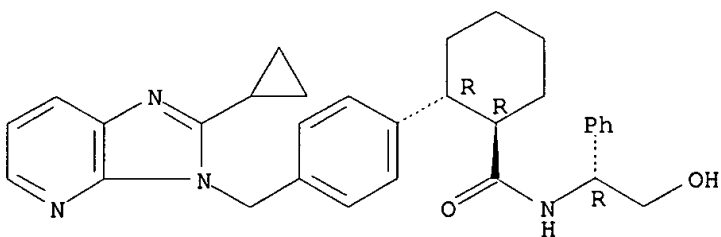
Absolute stereochemistry.



RN 159992-84-4 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

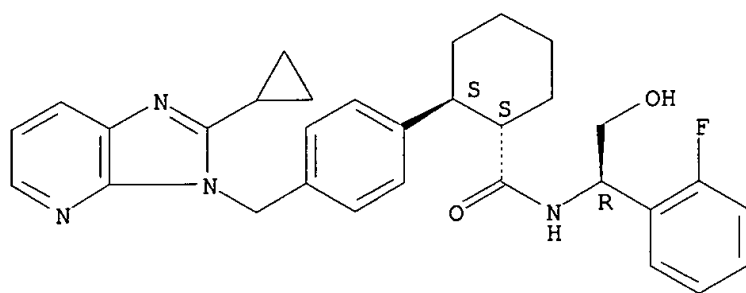
Absolute stereochemistry.



RN 160227-10-1 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

Relative stereochemistry.





L20 ANSWER 37 OF 59 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:567333 CAPLUS

DOCUMENT NUMBER: 125:221843

TITLE: Preparation of benzylimidazole derivatives for the treatment of vascular restenosis

INVENTOR(S): Mueller-Gliemann, Matthias; Mueller, Ulrich; Beuck, Martin; Zaiss, Siegfried; Gerdes, Christoph; Domdey-Bette, Anke; Gruetzmann, Rudi; Lohmer, Stefan; Wohlfeil, Stefan; et al.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

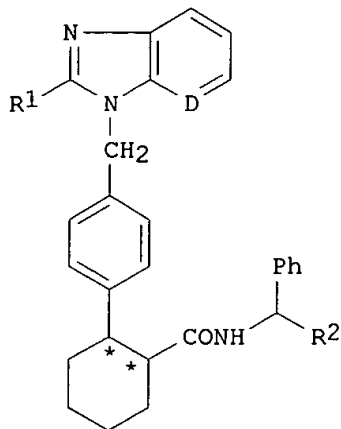
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 725064	A1	19960807	EP 1996-100760	19960119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19503160	A1	19960808	DE 1995-19503160	19950201
TW 448176	B	20010801	TW 1996-85100684	19960122
RO 117256	B1	20011228	RO 1996-152	19960126
CA 2168317	AA	19960802	CA 1996-2168317	19960129
JP 08253453	A2	19961001	JP 1996-33174	19960129
IL 116931	A1	20000601	IL 1996-116931	19960129
FI 9600425	A	19960802	FI 1996-425	19960130
AU 9642240	A1	19960808	AU 1996-42240	19960130
AU 710235	B2	19990916		
NO 9600414	A	19960802	NO 1996-414	19960131
ZA 9600725	A	19960820	ZA 1996-725	19960131
RU 2158261	C2	20001027	RU 1996-101800	19960131
CN 1137380	A	19961211	CN 1996-102574	19960201
US 5935983	A	19990810	US 1997-960075	19971024
PRIORITY APPLN. INFO.:			DE 1995-19503160 A	19950201
			US 1996-588477 B1	19960118
OTHER SOURCE(S):			MARPAT 125:221843	
GI				



I

AB The title compds. [I; D = CH, N; R1 = Ph, cycloalkyl, (un)branched alkyl; R2 = (un)branched alkoxy carbonyl, CH2OH, CONH2], useful for the treatment of vascular restenosis, are prepd. Thus, I (D = N, R1 = CHMe2, R2 = CONH2; \* \* cyclohexyl ring bonding is trans) was prepd. and demonstrated a IC50 of 0.01 nM for the inhibition of rat aorta smooth muscle proliferation.

IT 181130-31-4P 181130-33-6P 181130-39-2P

181130-40-5P 181231-29-8P 181231-31-2P

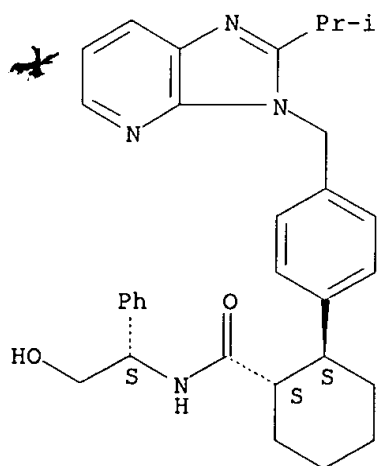
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-31-4 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

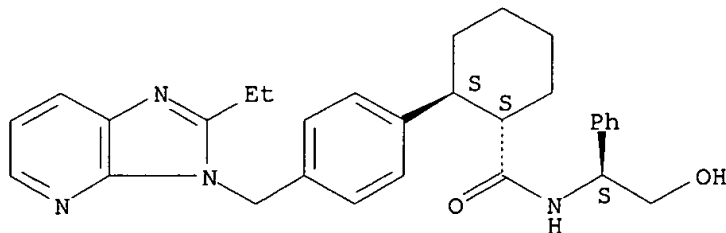
Absolute stereochemistry.



RN 181130-33-6 CAPLUS

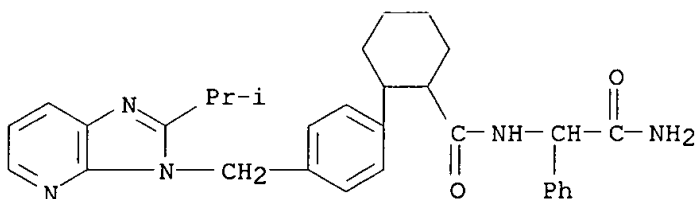
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



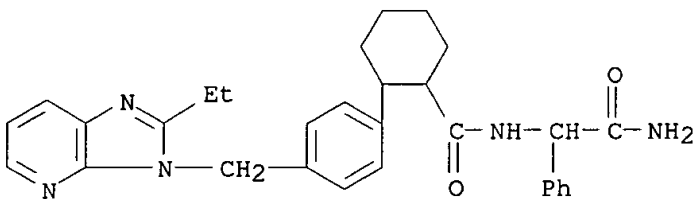
RN 181130-39-2 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181130-40-5 CAPLUS

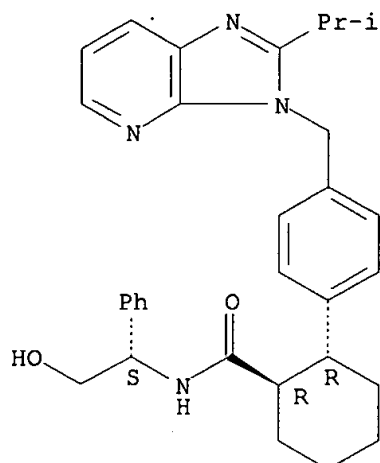
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181231-29-8 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

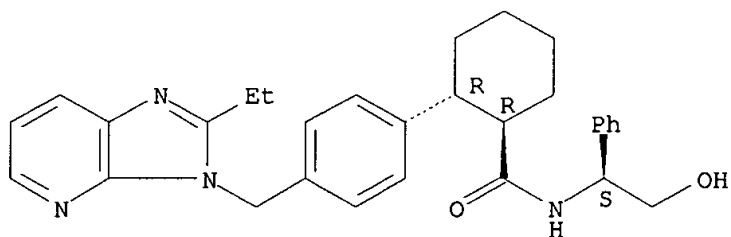
Absolute stereochemistry.



RN 181231-31-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

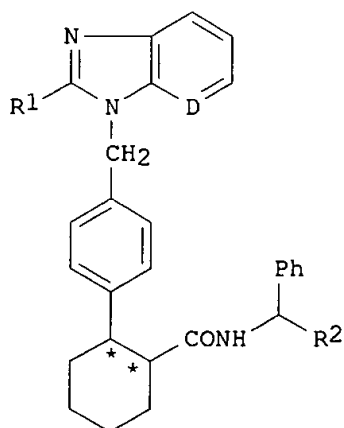
Absolute stereochemistry.



L29 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:567333 CAPLUS  
 DOCUMENT NUMBER: 125:221843  
 TITLE: Preparation of benzylimidazole derivatives for the treatment of vascular restenosis  
 INVENTOR(S): Mueller-Gliemann, Matthias; Mueller, Ulrich; Beuck, Martin; Zaiss, Siegfried; Gerdes, Christoph; Domdey-Bette, Anke; Gruetzmann, Rudi; Lohmer, Stefan; Wohlfeil, Stefan; et al.  
 PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 16 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 725064	A1	19960807	EP 1996-100760	19960119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19503160	A1	19960808	DE 1995-19503160	19950201
TW 448176	B	20010801	TW 1996-85100684	19960122
RO 117256	B1	20011228	RO 1996-152	19960126
CA 2168317	AA	19960802	CA 1996-2168317	19960129
JP 08253453	A2	19961001	JP 1996-33174	19960129
IL 116931	A1	20000601	IL 1996-116931	19960129
FI 9600425	A	19960802	FI 1996-425	19960130
AU 9642240	A1	19960808	AU 1996-42240	19960130
AU 710235	B2	19990916		
NO 9600414	A	19960802	NO 1996-414	19960131
ZA 9600725	A	19960820	ZA 1996-725	19960131
RU 2158261	C2	20001027	RU 1996-101800	19960131
CN 1137380	A	19961211	CN 1996-102574	19960201
US 5935983	A	19990810	US 1997-960075	19971024
PRIORITY APPLN. INFO.:			DE 1995-19503160 A	19950201
			US 1996-588477 B1	19960118
OTHER SOURCE(S):		MARPAT 125:221843		
GI				



I

AB The title compds. [I; D = CH, N; R1 = Ph, cycloalkyl, (un)branched alkyl; R2 = (un)branched alkoxy carbonyl, CH2OH, CONH2], useful for the treatment of vascular restenosis, are prepd. Thus, I (D = N, R1 = CHMe2, R2 = CONH2; \* \* cyclohexyl ring bonding is trans) was prepd. and demonstrated a IC50 of 0.01 nM for the inhibition of rat aorta smooth muscle proliferation.

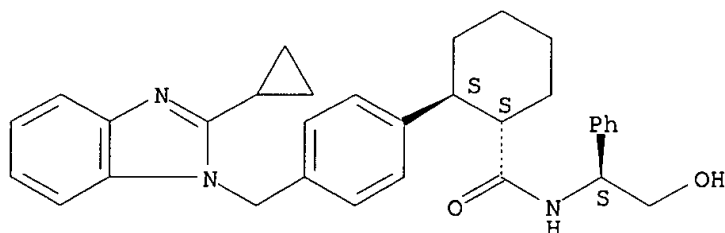
IT 181130-30-3P 181130-32-5P 181130-34-7P  
181130-35-8P 181130-36-9P 181130-37-0P  
181130-38-1P 181130-41-6P 181130-42-7P  
181231-28-7P 181231-30-1P 181231-32-3P  
181231-33-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-30-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

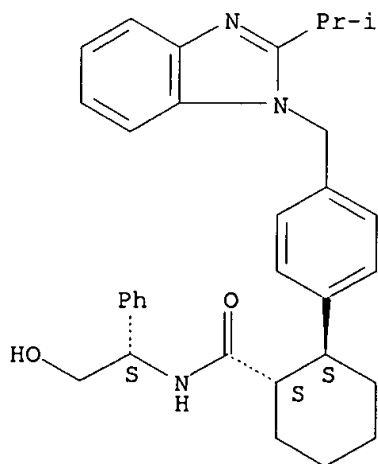
Absolute stereochemistry.

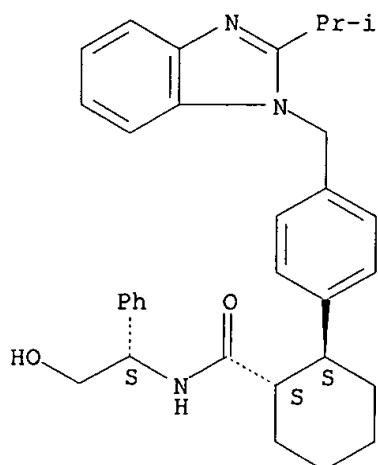


RN 181130-32-5 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

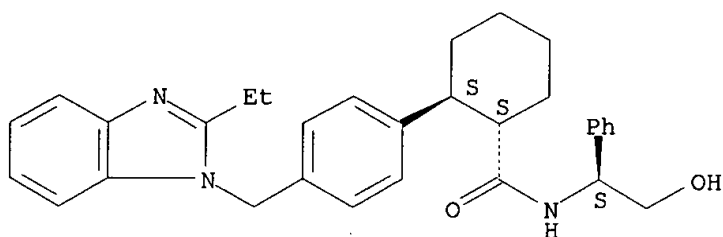




RN 181130-34-7 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

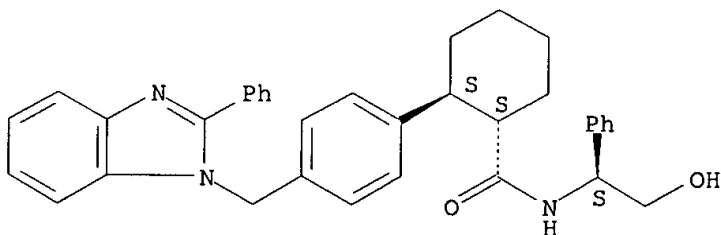
Absolute stereochemistry.



RN 181130-35-8 CAPLUS

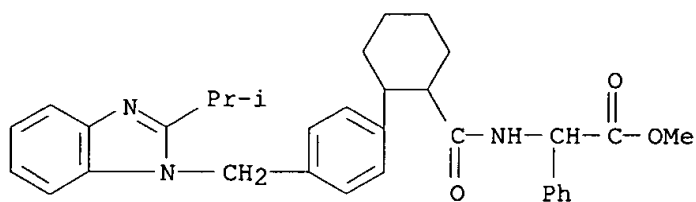
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1S-[1.alpha.(R\*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



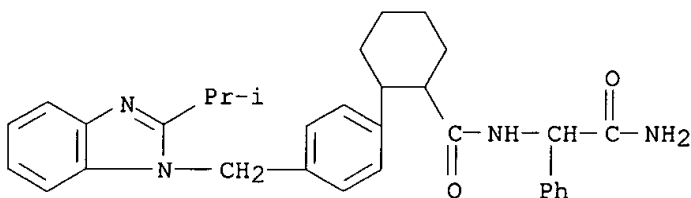
RN 181130-36-9 CAPLUS

CN Benzeneacetic acid, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



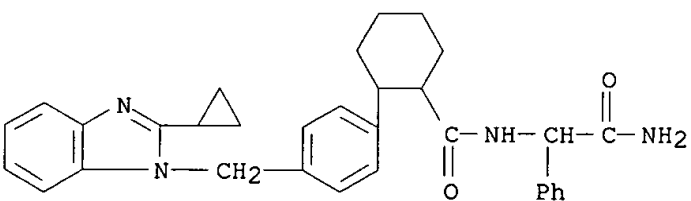
RN 181130-37-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



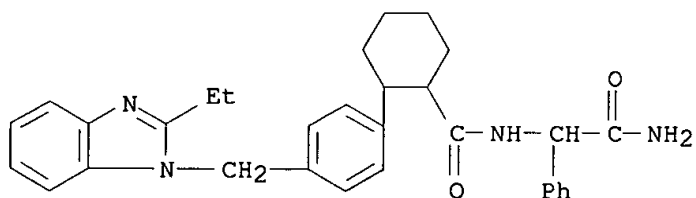
RN 181130-38-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181130-41-6 CAPLUS

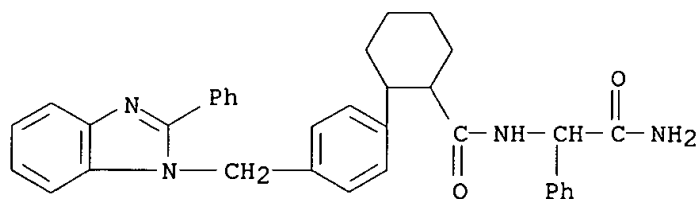
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181130-42-7 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

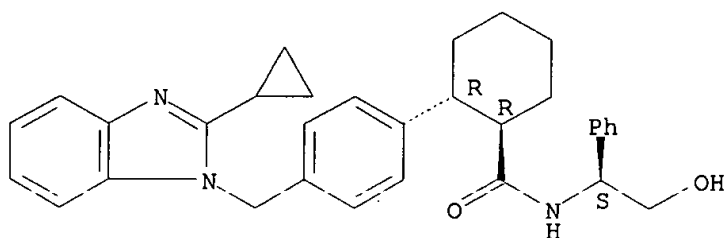




RN 181231-28-7 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

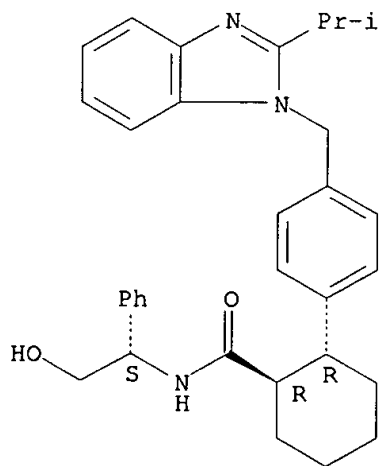
Absolute stereochemistry.



RN 181231-30-1 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl)methyl]phenyl]-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

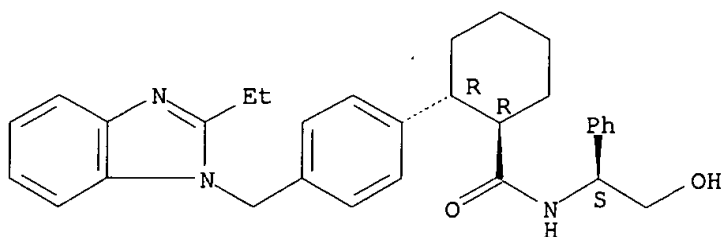
Absolute stereochemistry.



RN 181231-32-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

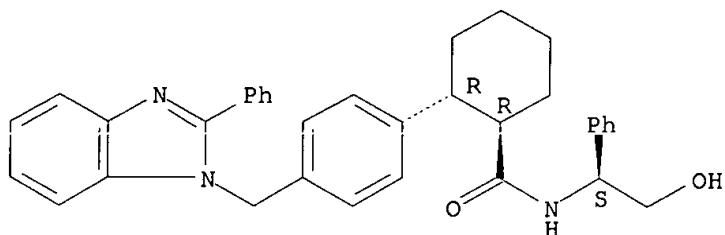
Absolute stereochemistry.



RN 181231-33-4 CAPLUS

CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1R-[1.alpha.(S\*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



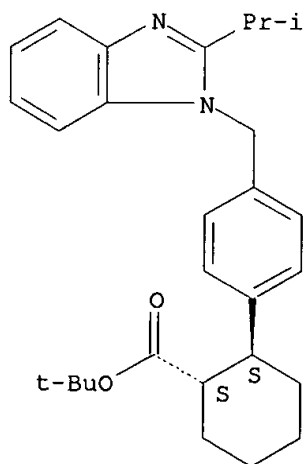
IT 181130-43-8P 181130-44-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-43-8 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

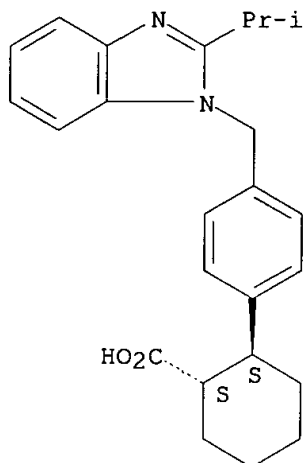
Relative stereochemistry.



RN 181130-44-9 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L29 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:94905 CAPLUS

DOCUMENT NUMBER: 122:56057

TITLE: [(Imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylates as angiotensin antagonists

INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 29 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4304455	A1	19940818	DE 1993-4304455	19930215
AU 9454807	A1	19940818	AU 1994-54807	19940131
AU 672262	B2	19960926		
EP 611767	A1	19940824	EP 1994-101543	19940202
EP 611767	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 196141	E	20000915	AT 1994-101543	19940202
ES 2151908	T3	20010116	ES 1994-101543	19940202
US 5395840	A	19950307	US 1994-193835	19940208
CA 2115536	AA	19940816	CA 1994-2115536	19940211
FI 9400659	A	19940816	FI 1994-659	19940211
IL 108625	A1	19970930	IL 1994-108625	19940211
PL 177834	B1	20000131	PL 1994-302213	19940211

NO 9400506	A	19940816	NO 1994-506	19940214
ZA 9400984	A	19940824	ZA 1994-984	19940214
JP 06293741	A2	19941021	JP 1994-37543	19940214
RU 2119480	C1	19980927	RU 1994-4975	19940214
CN 1108257	A	19950913	CN 1994-101553	19940215
CN 1057085	B	20001004		
CZ 289096	B6	20011114	CZ 1994-329	19940215

PRIORITY APPLN. INFO.:

DE 1993-4304455 A 19930215

OTHER SOURCE(S): MARPAT 122:56057

GI For diagram(s), see printed CA Issue.

AB The title compds., [(imidazolylmethyl)phenyl]cyclohexanecarboxylate derivs. and [(pyrrolylmethyl)phenyl]cyclohexanecarboxylate derivs. I (A = H, aryl, etc.; B, D = substituent; BD = fused ring fragment; E = nitrogen, methine; L = H, halo, nitro, etc.; T = carboxy or amide function) were disclosed as agents for the treatment of arterial hypertonia and atherosclerosis. I are antihypertensives (angiotensin II antagonists). An example compd., the [(imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylate II was prepd.

IT **158098-08-9P**

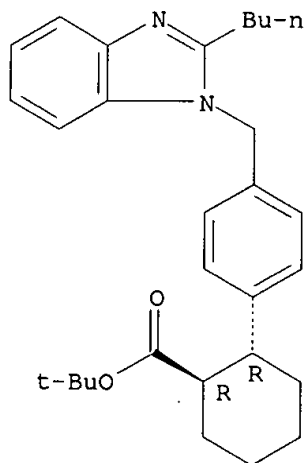
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of [(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as angiotensin antagonists)

RN 158098-08-9 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT **158098-14-7P 158098-27-2P 158189-66-3P**

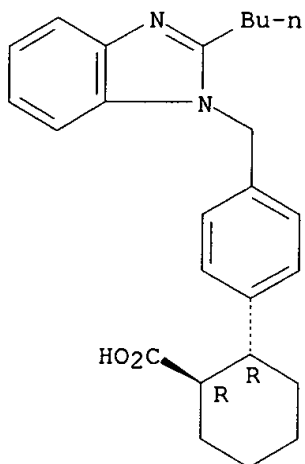
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as angiotensin antagonists)

RN 158098-14-7 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-, trans- (9CI) (CA INDEX NAME)

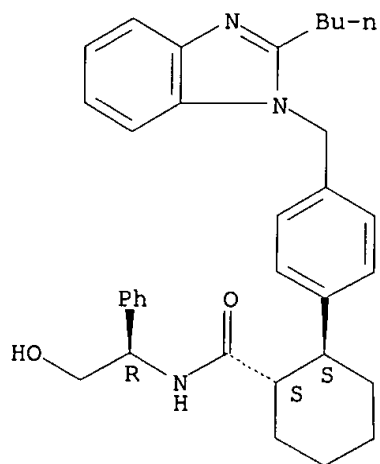
Relative stereochemistry.



RN 158098-27-2 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-  
N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S\*),2.beta.]]- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



RN 158189-66-3 CAPLUS

CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-  
N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R\*),2.beta.]]- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

